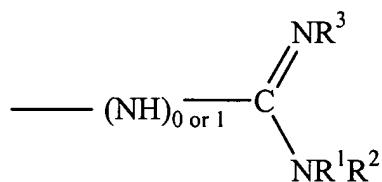


wherein

(YN) is an organic residue obtained by the removal of a predetermined organic group, Q, from an opioid of the formula YN-Q, said opioid selected from the group consisting of morphine, codeine, heroin, ethylmorphine, O-carboxymethylmorphine, O-acetylmorphine, hydrocodone, hydromorphone, oxymorphone, oxycodone, dihydrocodeine, thebaine, metopon, ethorphine, acetorphine, diprenorphine (M5050), buprenorphine, phenomorphan, levorphanol, pentazocine, eptazocine, metazocine, ethoheptazine, ketobemidone, dihydroetorphine and dihydroacetorphine;

(spacer) is a group linking YN to an amidine or guanidine group, wherein YN and said amidine or guanidine group are separated by 1 to 6 atoms; and

(amidine or guanidine group) is a group of the formula



in which

R^1 is H, alkyl or aryloxyalkyl, wherein the aryl group is optionally substituted by alkyl, alkoxy, halogen, or alkyl substituted by halogen, and alkyl, alkoxy and the alkyl moiety of aryloxy alkyl have 1 to 6 carbon atoms;

R^2 is H or an alkyl group having 1 to 6 carbon atoms;

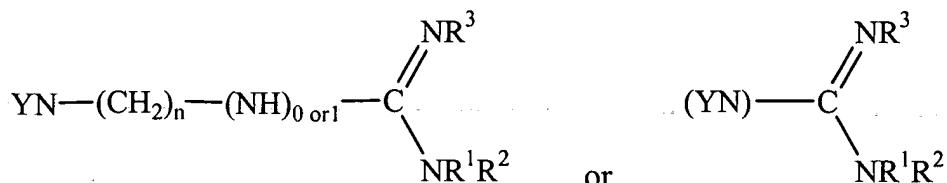
R³ is H, alkyl, hydroxy, amino, cyano or acyl, wherein alkyl and acyl have 1 to 6 carbon atoms; or

R¹ and R³ together form an alkylene or alkenylene of from 2 to 4 carbon atoms to complete a ring including two nitrogen atoms,

or a pharmaceutically acceptable salt thereof,

wherein said compound acts as an analgesic that has reduced sedative or addictive effect in comparison to any opioid of formula YN-Q comprising an organic residue YN identical to the organic residue YN of said compound.

7. (Three Times Amended) A compound according to Claim 1, of formula:



wherein

(YN) is an organic residue obtained by the removal of a predetermined organic group, Q, from an opioid of the formula YN-Q, said opioid selected from the group consisting of morphine, codeine, heroin, ethylmorphine, O-carboxymethylmorphine, O-acetylmorphine, hydrocodone, hydromorphone, oxymorphone, oxycodone, dihydrocodeine, thebaine, metopon, ethorphine, acetorphine, diprenorphine (M5050), buprenorphine, phenomorphan, levorphanol, pentazocine, eptazocine, metazocine, ethoheptazine, ketobemidone, dihydroetorphine and dihydroacetorphine;

in which

C2
R¹ is H, alkyl or aryloxyalkyl, wherein the aryl group is optionally substituted by alkyl, alkoxy, halogen, or alkyl substituted by halogen, and alkyl, alkoxy and the alkyl moiety of aryloxy alkyl have 1 to 6 carbon atoms;

R² is H or an alkyl group having 1 to 6 carbon atoms;

R³ is H, alkyl, hydroxy, amino, cyano or acyl, wherein alkyl and acyl have 1 to 6 carbon atoms; or

R¹ and R³ together form an alkylene or alkenylene of from 2 to 4 carbon atoms to complete a ring including two nitrogen atoms; and

n is an integer of 1 to 6;

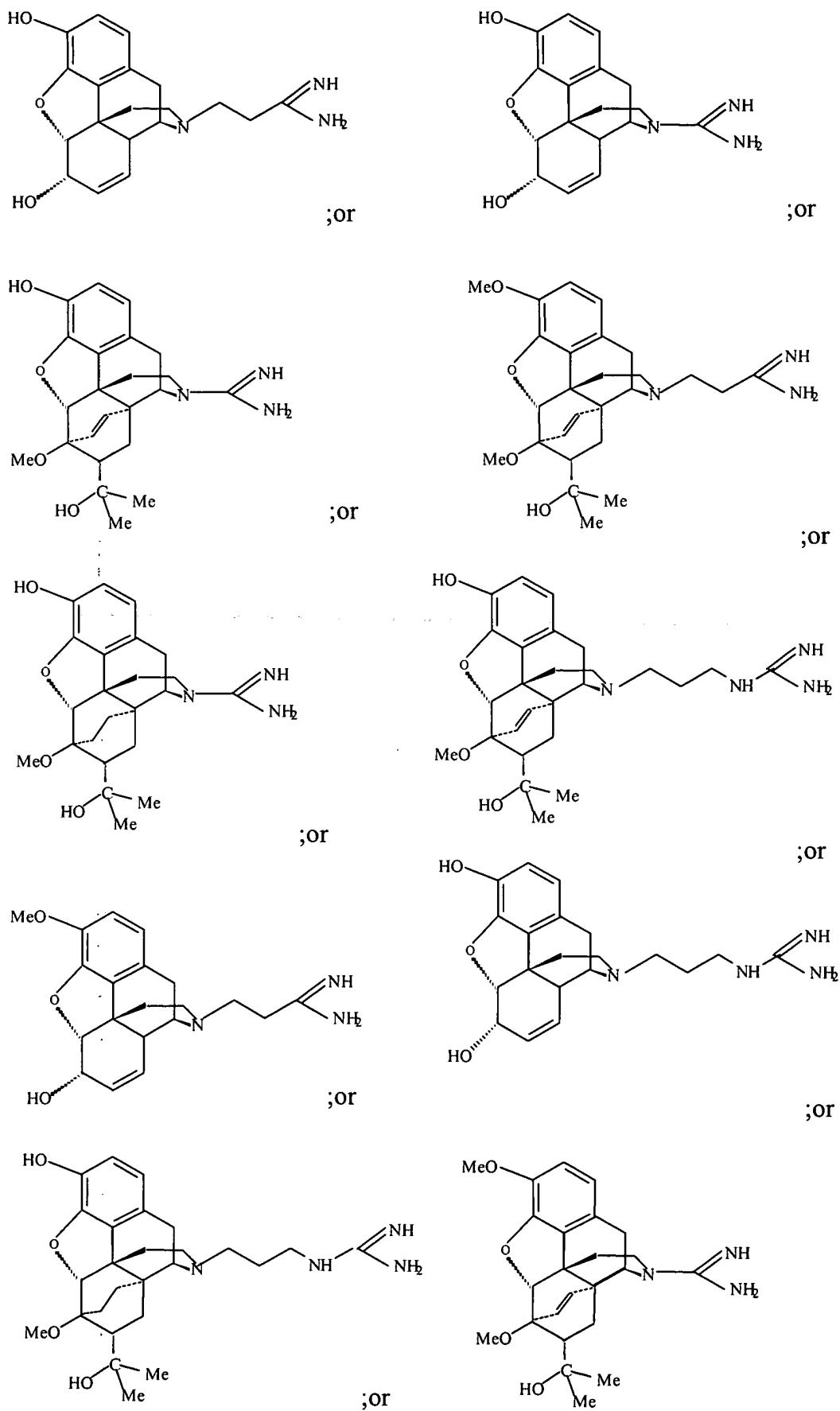
or a pharmaceutically acceptable salt thereof.

8. (Amended) A compound according to Claim 7, in which R¹ and R³ together form an alkylene or alkenylene of from 2 to 4 carbon atoms to complete a ring including two nitrogen atoms.

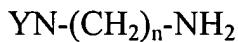
C3
12. (Three Times Amended) A compound according to Claim 7, in which R¹ and R² are both H.

C4
14. (Three Times Amended) A compound according to Claim 7, in which the opioid is morphine, codeine or buprenorphine.

C5
16. (Twice Amended) A compound according to Claim 1, said compound selected from the group consisting of



19. (Three Times Amended) A method for the preparation of a compound of claim 7 comprising the step of reacting a compound having the formula



or

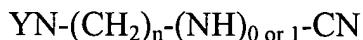


with a cyanamide of formula R¹NHCN,

wherein

R¹ is H, alkyl or aryloxyalkyl, wherein the aryl group is optionally substituted by alkyl, alkoxy, halogen, or alkyl substituted by halogen, and alkyl, alkoxy and the alkyl moiety of aryloxy alkyl have 1 to 6 carbon atoms; and n is an integer of 1 to 6.

20. (Three Times Amended) A method for the preparation of a compound of claim 7 comprising the steps of reacting a compound of formula



or



with H₂S to obtain an N-thiocarboxamide, and then either (i) reacting the N-thiocarboxamide with an amine R¹R²NH, or

(ii) Methylating the N-thiocarboxamide to yield an isothiourea compound, which is in turn reacted with an amine R¹R²NH,
wherein

R¹ is H, alkyl or aryloxyalkyl, wherein the aryl group is optionally substituted by alkyl, alkoxy, halogen, or alkyl substituted by halogen, and alkyl, alkoxy and the alkyl moiety of aryloxy alkyl have 1 to 6 carbon atoms;

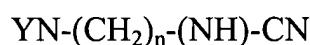
R² is H or an alkyl group having 1 to 6 carbon atoms;

R³ is H; and

C6
CH3CH2

n is an integer of 1 to 6.

21. (Three Times Amended) A method for the preparation of a compound of claim 7 comprising the step of reacting a compound of formula



or



with methanol under acidic conditions to yield an isourea, which in turn is reacted with an amine of the formula $\text{R}^1\text{R}^2\text{NH}$,
wherein

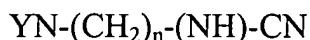
R^1 is H, alkyl or aryloxyalkyl, wherein the aryl group is optionally substituted by alkyl, alkoxy, halogen, or alkyl substituted by halogen, and alkyl, alkoxy and the alkyl moiety of aryloxy alkyl have 1 to 6 carbon atoms;

R^2 is H or an alkyl group having 1 to 6 carbon atoms;

R^3 is H; and

n is an integer of 1 to 6.

22. (Three Times Amended) A method for the preparation of a compound of claim 7 comprising the step of reacting a compound of formula



or



with a metallated residue containing - NR^1R^2 ,
wherein

C6
On

R^1 is H, alkyl or aryloxyalkyl, wherein the aryl group is optionally substituted by alkyl, alkoxy, halogen, or alkyl substituted by halogen, and alkyl, alkoxy and the alkyl moiety of aryloxy alkyl have 1 to 6 carbon atoms;

R^2 is H or an alkyl group having 1 to 6 carbon atoms;

R^3 is H; and

n is an integer of 1 to 6.

C7

33. (Amended) A method of inducing analgesia in a mammal, said method comprising administration of a pharmaceutical composition of claim 23 in amounts effective to induce said analgesia to a mammal in need thereof.

C8

34. (NEW) A method for the preparation of a compound of claim 7 comprising the step of reacting a compound having the formula



or



with a compound of formula (V)



wherein

R^1 is H, alkyl or aryloxyalkyl, wherein the aryl group is optionally substituted by alkyl, alkoxy, halogen, or alkyl substituted by halogen, and alkyl, alkoxy and the alkyl moiety of aryloxy alkyl have 1 to 6 carbon atoms;

R^2 is H or an alkyl group having 1 to 6 carbon atoms;

R^3 is H, alkyl, hydroxy, amino, cyano or acyl, wherein alkyl and acyl have 1 to 6 carbon atoms;

n is an integer of 1 to 6,